

A

```

1   TGAAATATAGGTGAGAGACAAGATTGTCTCATATCCGGGGAAATCATAACCTATGACTAG
61  GACGGGAAGAGGAAGCACTGCCTTTACTTTCAGTGGGAATCTCGGCCTCAGCCTGCAAGCC
121 AAGTGTTCACAGTGAGAAAAGCAAGAGAATAAGCTAATACTCCTGTCTGAAACAAGGCAG
181 CGGCTCCTTGGTAAAGCTACTCCTTGATCGATCCTTTGCACCGGATTGTTCAAAGTGGAC
241 CCCAGGGGAGAAAGTCGGAGCAAAGAAGCTTACCACCAAGCAGTCCAAGAGGCCAGAGCA
301 AACCTGGAGGTGAGACCCAAAGAAAGCTGGAACCATGCTGACTTTGTACACTGTGAGGAC
    L E V R P K E S W N H A D F V H C E D 19
361 ACAGAGTCTGTTCCTGGAAAGCCCACTGTCAACGCAGATGAGGAAGTCGGAGGTCCCCAA
    T E S V P G K P S V N A D E E V G G P Q 39
421 ATCTGCCGTGTATGTGGGGACAAGGCCACTGGCTATCACTTCAATGTCATGACATGTGAA
    I C R V C G D K A T G Y H F N V M T C E 59
481 GGTCAAGGGCTTTTTCAGGAGGGCCATGAAACGCAACGCCCGGCTGAGGTGCCCTTC
    G C K G F F R R A M K R N A R L R C P F 79
541 CGGAAGGGCGCCTGCGAGATCACC CGGAAGACCCGGCGACAGTCCAGGCCTGCGCGCTG
    R K G A C E I T R K T R R Q C Q A C P L 99
601 CGCAAGTGCCTGGAGCGCGCATGAAGAAGGAGATGATCATGTCGAGGAGGGCGGTGGAG
    P K C L E S G M K K E M I M S D E A V E 119
661 GAGAGCGGGGCTTGTATCAAGCGGAAGAAAAGTGAACGGACAGGGACTCAGCGACTGGA
    E R R A L I K P K K S E R T G T Q P L G 139
721 GTGAGGGGGCTGACAGAGAGAGGAGCGGATGATGATCAGGGAGGTGATGAGGGCTGAA
    V Q G L T E E Q P M M I R E L M D A Q M 159
781 AAAAGCTTTGAGAGTACCTTTCTGCTTTCAAGAAATTCCTGCTGCTGCTGCTGCTGCT
    K T F D T T F D H F K N F F L D I V I E 179
841 AATGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCT
    S H C E L P E T L V A P S P E E A A F W 199
901 AAGCAAGTTCGTAAGATTTGTCTTTTGAAGGTCTCTTGTGCTGCTGCTGCTGCTGCTGCT
    S Q Y P F D L P S L R V S L Q L R F E D 219
961 GTTAGTTCGTAAGATTAAGAACTTCCAGCTGACAGTGGCGGGAAGAAATTTCTGCTGCT
    G S V W N Y K P P A D S G G K E I F I D 239
1021 GTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCT
    L P H M A D N S T Y M F K G I I S F A P 259
1081 GTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCT
    V I S Y F P D L P I E D Q I S L L Y G A 279
1141 GGTTCGTAAGTTCGTAAGTTCGTAAGTTCGTAAGTTCGTAAGTTCGTAAGTTCGTAAGTTC
    A F E L C Q L P F N T V F N A E T G T W 299
1201 GAGTGTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCT
    E C G R L S Y C L E D T A G G F Q Q I L 319
1261 GTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCT
    L E P M L K F H Y M L K K L Q L H E E E 339
1321 TATGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCT
    Y V I M Q A I S L F S P D R P G Y L Q H 359
1381 AGGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCT
    P V V D Q L Q E Q F A I T L K S Y I F C 379
1441 AATGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCT
    N R P Q P A H R F L F L K I M A M L T E 399
1501 GTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCT
    L P S I N A Q H T Q R L L R I Q D I H P 419
1561 TTTGCTAGCGCCCTGATGCAAGGATTGTTCCGGCATCACAGGTAGTGAAGGCTGCTGCT
    F A T P L M Q E L F G I T G S * 439
1621 AGGTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCT
    A G A C A G A T G G A C A C T G C C A A G A G C C G A C A A T G C C C T G C T G C C T A G G G A A T T
1681 AGACAGATGGACACTGCCAAGAGCGGACAAATGCCCTGCTGGCCTGTCTGCTGCTGCTGCTGCT
    C C T G C T A T G A C A G A T G C C T A G C A T T C C T C A G G A A G C A T G C C T G C C C C A C C T G C C A T
1741 CCGTCTCTAGGGAGTGAAGCCACAGACTCTTACCTGAGAGTGAAGTGAAGTGAAGTGAAGTGA
    T C A G T C T T A G G G A G T G A A G C C A C A G A C T C T T A C C T G G A G A G T G A A G T G A A G T G A A G T
1801 AGGAGCATCAGAGAGGCAAGGTTGCCCTTTCTTTTAAAGGCTCTCTCTCTCTCTCTCTCTCT
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1861 AATCCTCTAGATCCCACTAAAGTGTGCAAGGTGTGGAAGGTGACCAAGGTGAAGGTGAAGGT
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1921 AGCCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCT
    A G C C T G C T G C T G C T G C T G C T G C T G C T G C T G C T G C T G C T G C T G C T G C T G C T G C T G C T
1981 AGCTCTAATAGTCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCT
    A G C T C T A A T A G T C T G C T G C T G C T G C T G C T G C T G C T G C T G C T G C T G C T G C T G C T G C T
2041 TGGGCTGCAAGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCTGCT
    T G G G C T G C A A G C T G C T G C T G C T G C T G C T G C T G C T G C T G C T G C T G C T G C T G C T G C T
2101

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Figure 1A

B

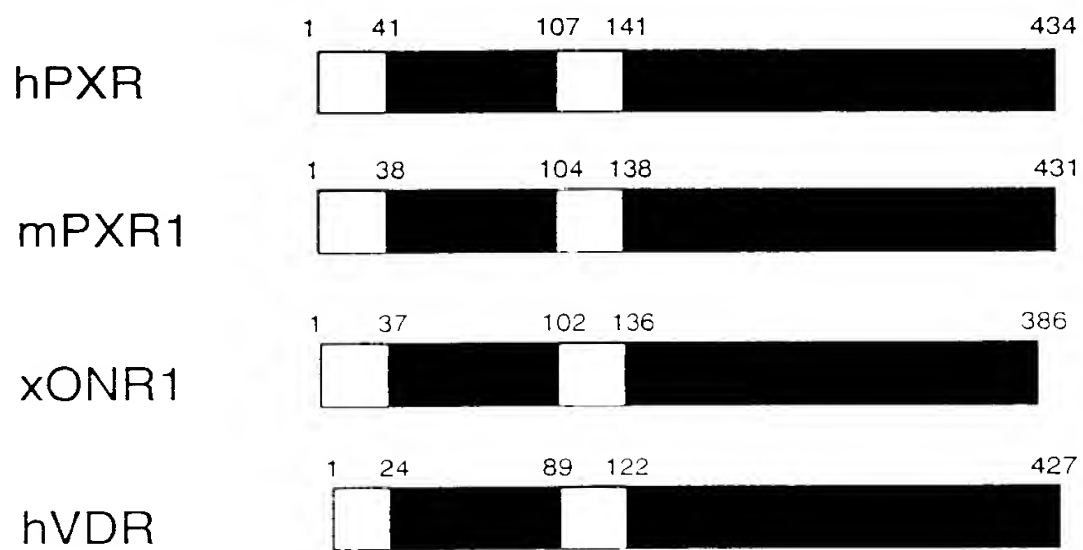


Figure 1B

C

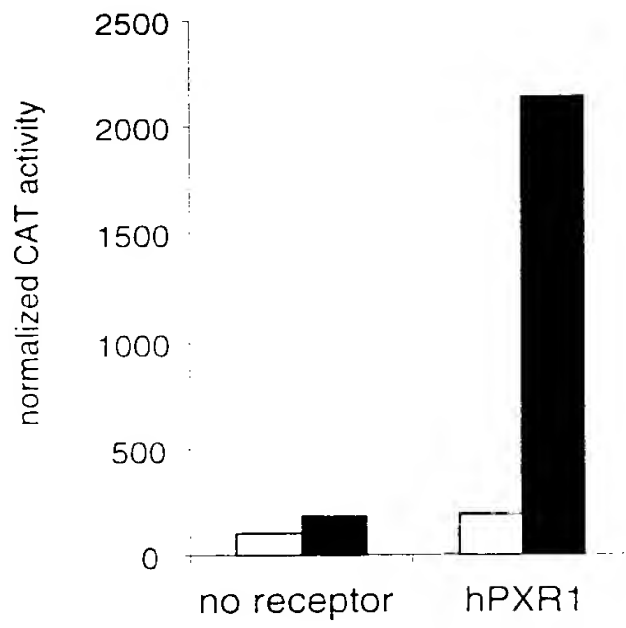


Figure 1C

D

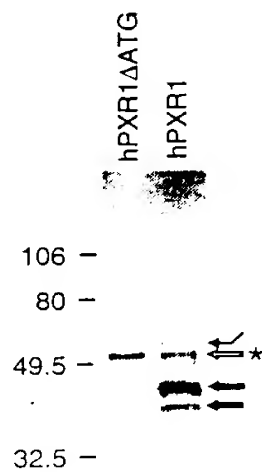


Figure 1D

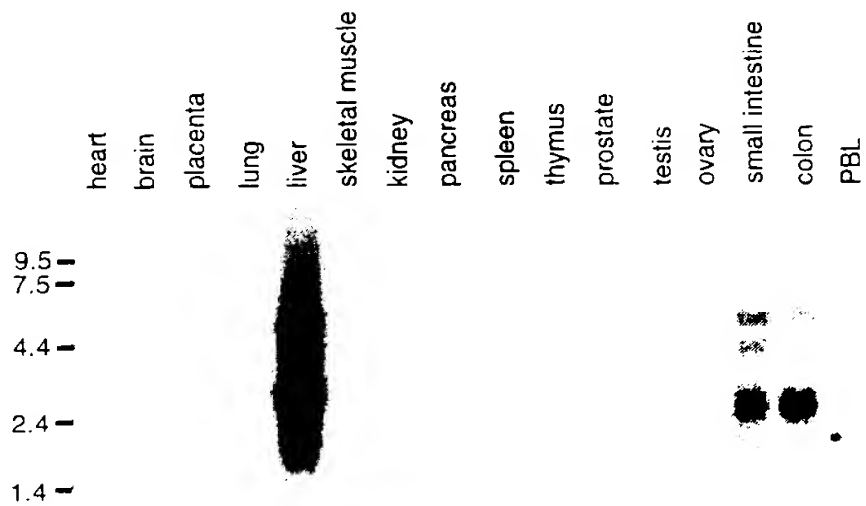


Figure 2

A

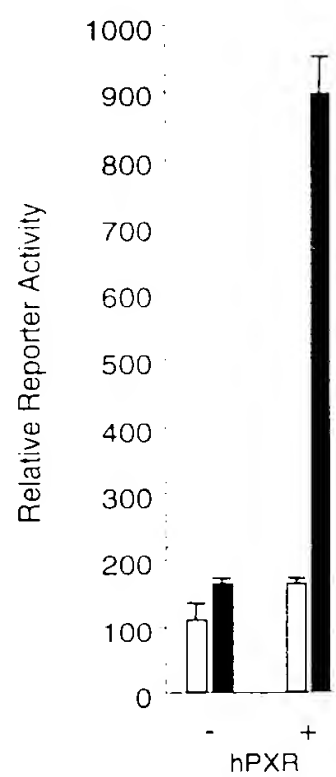
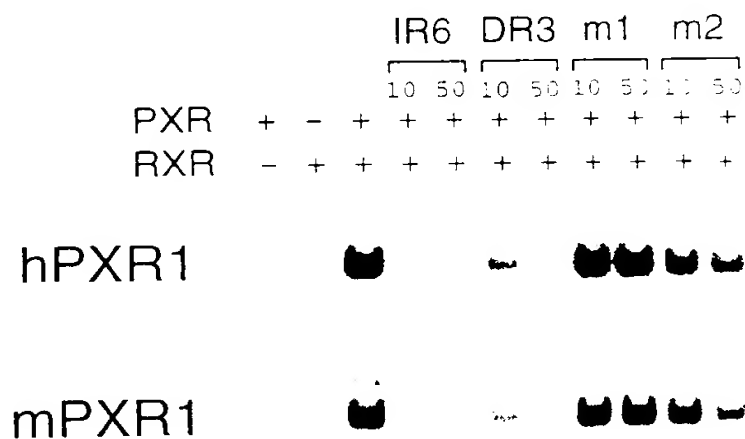


Figure 3A

B

| | |
|---------------|--|
| CYP3A4 IR6 | ata TGAACT caaagg AGGTCA gtg |
| | <-----> |
| CYP3A4 IR6 m1 | ata TGTTCT caaagg AGAACA gtg |
| | <-xx-- --xx-> |
| CYP3A4 IR6 m2 | ata ACAACT caaagg AGGTCA gtg |
| | xx-----> |
| CYP3A1 DR3 | aga TGAACT tca TGAACT gtc |
| | <-----> |

C



Figures 3B and 3C

A

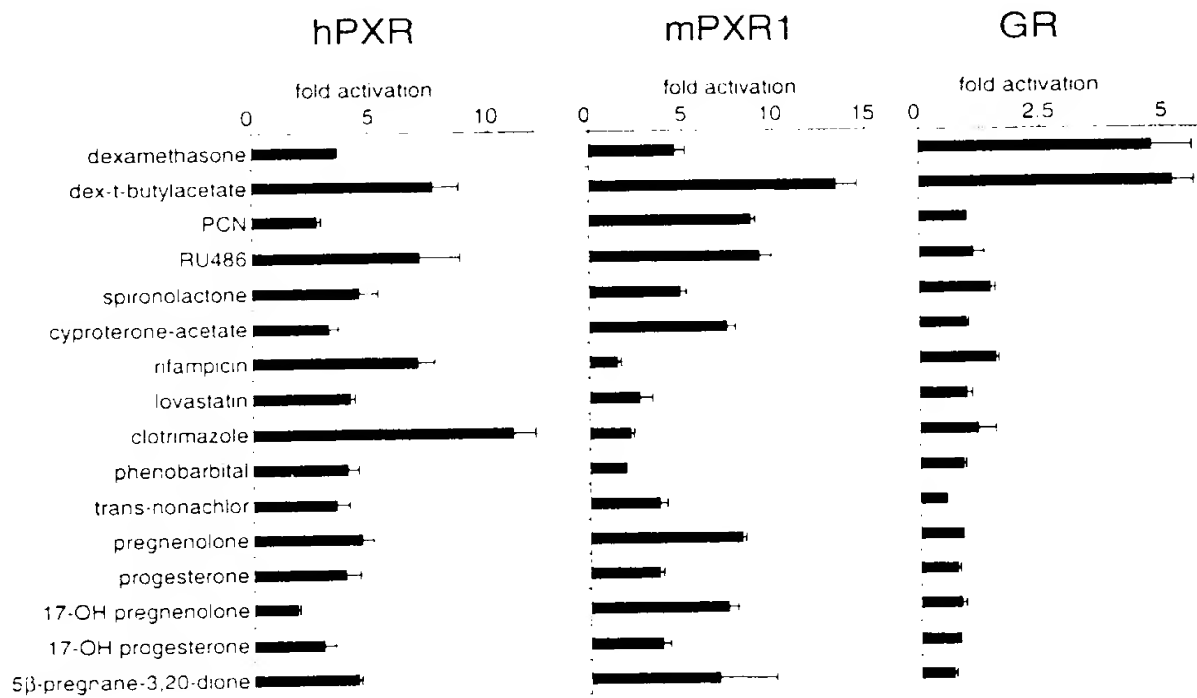


Figure 4A

B

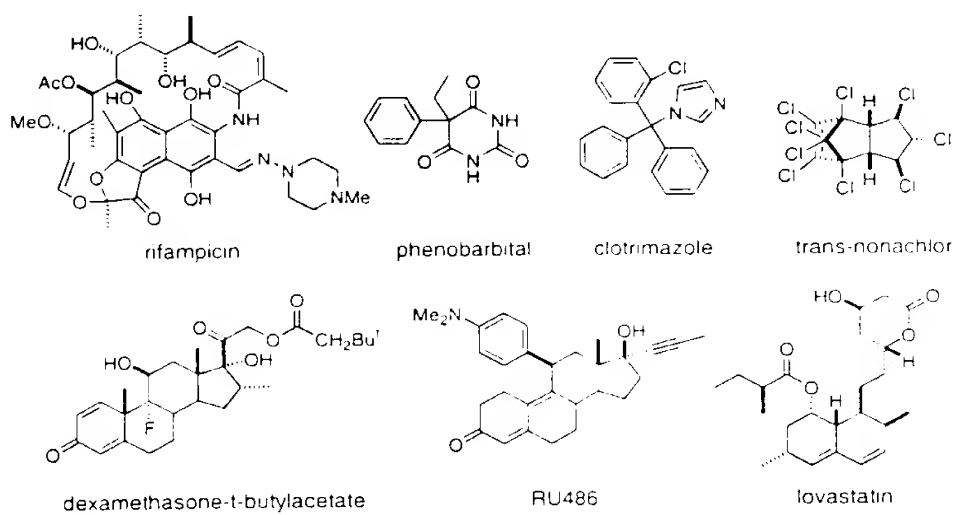


Figure 4B

C

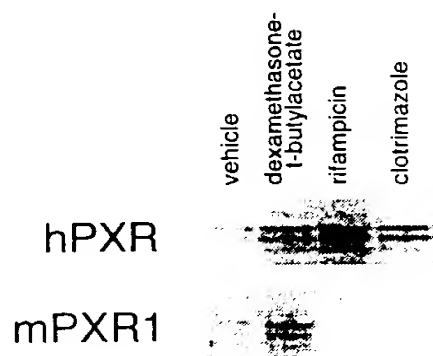


Figure 4C

The Preparation of [³H]GW-485801

Figure 5

1. REACTION SCHEME

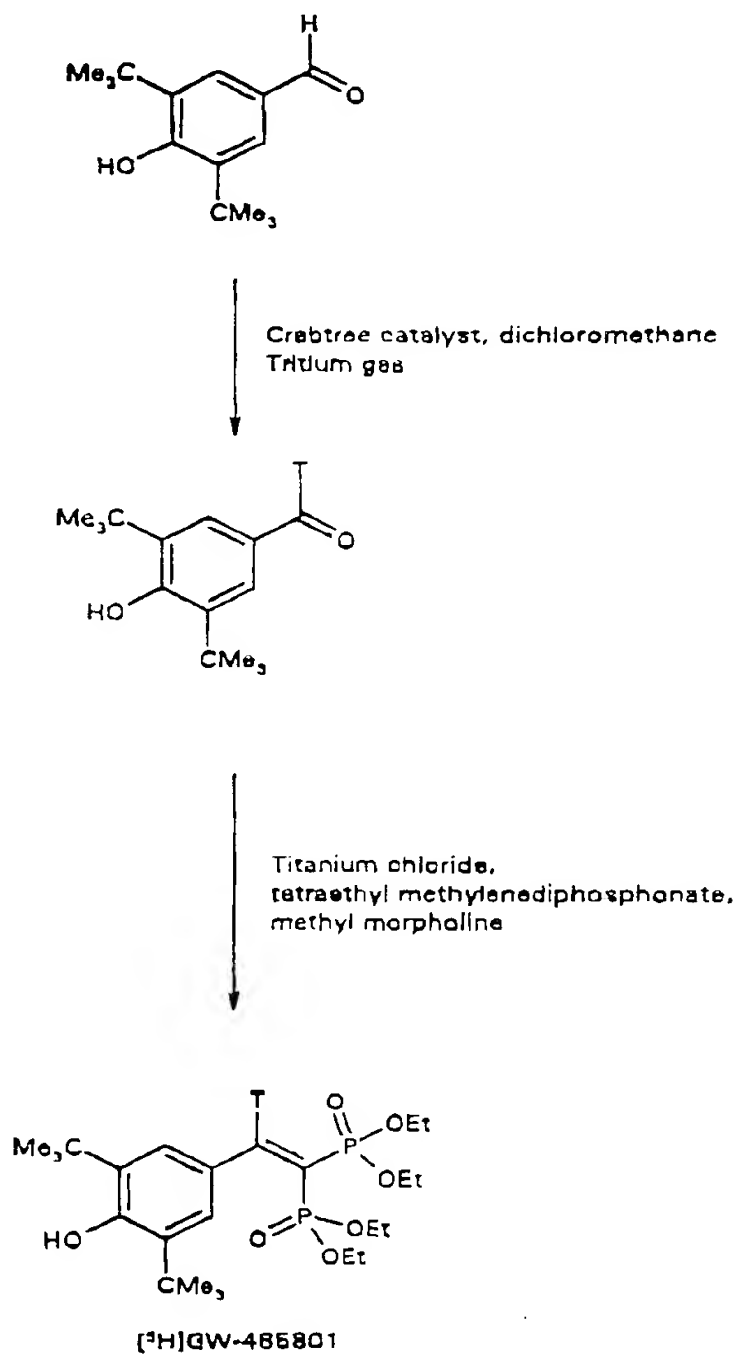


Figure 6

$K_d = 370 \text{ nM}$

